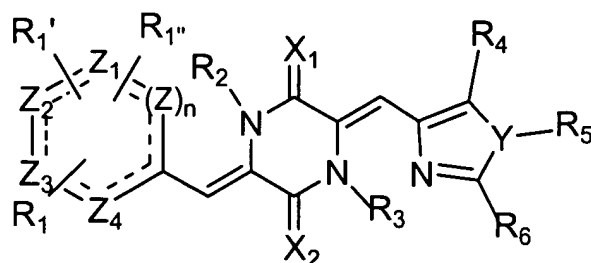


WHAT IS CLAIMED IS:

1. A method for the synthetic preparation of a compound having the structure of Formula (I):



wherein

R<sub>1</sub>, R<sub>4</sub>, and R<sub>6</sub>, are each separately selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups, hydroxy, carboxy, -CO-O-R<sub>7</sub>, cyano, alkylthio, halogenated alkyl including polyhalogenated alkyl, halogenated carbonyl, and carbonyl -CCO-R<sub>7</sub>, wherein R<sub>7</sub> is selected from a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups;

R<sub>1</sub>' and R<sub>1</sub>'' are each independently selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups, hydroxy, carboxy, -CO-O-R<sub>7</sub>, cyano, alkylthio, halogenated alkyl including polyhalogenated alkyl, halogenated carbonyl, and carbonyl -CCO-R<sub>7</sub>, wherein R<sub>7</sub> is selected from a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino,

substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups;

R, R<sub>1</sub>' and R<sub>1</sub>" are either covalently bound to one another or are not covalently bound to one another;

R<sub>2</sub>, R<sub>3</sub>, and R<sub>5</sub> are each separately selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>12</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>12</sub> alkenyl, acyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, and substituted nitro groups, sulfonyl and substituted sulfonyl groups;

X<sub>1</sub> and X<sub>2</sub> are separately selected from the group consisting of an oxygen atom, a nitrogen atom, and a sulfur atom, each either unsubstituted or substituted with a R<sub>5</sub> group, as defined above;

Y is selected from the group consisting of a nitrogen atom, a nitrogen atom substituted with R<sub>5</sub>, an oxygen atom, a sulfur atom, a oxidized sulfur atom, a methylene group and a substituted methylene group;

n is an integer equal to zero, one or two;

Z, for each separate n, if non-zero, and Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub> and Z<sub>4</sub> are each separately selected from a carbon atom, a sulfur atom, a nitrogen atom or an oxygen atom; and

the dashed bonds may be either single or double bonds;

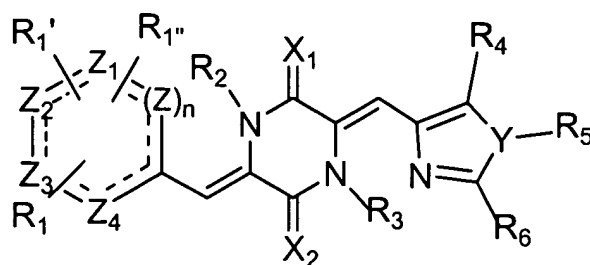
said method comprising:

reacting a diacyldiketopiperazine with a first aldehyde to produce an intermediate; and

reacting said intermediate with a second aldehyde to produce said compound, wherein said first aldehyde and said second aldehydes are selected from the group consisting of an oxazolecarboxaldehyde, imidazolecarboxaldehyde, a benzaldehyde, imidazolecarboxaldehyde derivatives, and benzaldehyde derivatives, thereby forming the compound.

2. The method according to claim 1, wherein said first aldehyde is an imidazolecarboxaldehyde.

3. The method according to claim 1, wherein said second aldehyde is a benzaldehyde.
4. The method according to claim 1, wherein each of  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_6$  is a hydrogen atom.
5. The method according to claim 1, wherein each of  $X_1$  and  $X_2$  is an oxygen atom.
6. The method according to claim 1, wherein  $R_4$  is a saturated  $C_1$ - $C_{12}$  alkyl.
7. The method according to claim 6, wherein said saturated  $C_1$ - $C_{12}$  alkyl is a tertiary butyl group.
8. The method according to claim 1, wherein  $R_1$  comprises a substituted phenyl.
9. The method according to claim 8, wherein said substituted phenyl group is methoxybenzene.
10. The method according to claim 1, wherein said first aldehyde is a benzaldehyde.
11. The method according to claim 1, wherein said second aldehyde is an imidazolecarboxaldehyde.
12. The method according to claim 1, wherein  $n$  is equal to zero or one.
13. The method according to claim 1, wherein  $n$  is equal to one.
14. The method according to claim 1, wherein  $n$  is equal to one and  $Z$ ,  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are each a carbon atom.
15. A compound having the structure of Formula (I):



(I)

wherein

R<sub>1</sub>, R<sub>4</sub>, and R<sub>6</sub>, are each separately selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups, hydroxy, carboxy, -CO-O-R<sub>7</sub>, cyano, alkylthio, halogenated alkyl including polyhalogenated alkyl, halogenated carbonyl, and carbonyl -CCO-R<sub>7</sub>, wherein R<sub>7</sub> is selected from a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups;

R<sub>1</sub>' and R<sub>1</sub>" are each independently selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups, hydroxy, carboxy, -CO-O-R<sub>7</sub>, cyano, alkylthio, halogenated alkyl including polyhalogenated alkyl, halogenated carbonyl, and carbonyl -CCO-R<sub>7</sub>, wherein R<sub>7</sub> is selected from a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>24</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>24</sub> alkenyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, azido, substituted nitro, phenyl, and substituted phenyl groups;

R, R<sub>1</sub>' and R<sub>1</sub>" are either covalently bound to one another or are not covalently bound to one another;

R<sub>2</sub>, R<sub>3</sub>, and R<sub>5</sub> are each separately selected from the group consisting of a hydrogen atom, a halogen atom, and saturated C<sub>1</sub>-C<sub>12</sub> alkyl, unsaturated C<sub>1</sub>-C<sub>12</sub> alkenyl, acyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, substituted aryl, heteroaryl, substituted heteroaryl, amino, substituted amino, nitro, and substituted nitro groups, sulfonyl and substituted sulfonyl groups;

$X_1$  and  $X_2$  are separately selected from the group consisting of an oxygen atom, a nitrogen atom, and a sulfur atom, each either unsubstituted or substituted with a  $R_5$  group, as defined above;

Y is selected from the group consisting of a nitrogen atom, a nitrogen atom substituted with  $R_5$ , an oxygen atom, a sulfur atom, an oxidized sulfur atom, a methylene group and a substituted methylene group;

n is an integer equal to zero, one or two;

Z, for each separate n, if non-zero, and  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are each separately selected from a carbon atom, a sulfur atom, a nitrogen atom or an oxygen atom; and

the dashed bonds may be either single or double bonds;

with the proviso that, in a particular compound, if  $R_1$ ,  $R_1'$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  are each a hydrogen atom, then it is not true that  $X_1$  and  $X_2$  are each an oxygen atom and  $R_6$  is either 3,3-dimethylbutyl-1-ene or a hydrogen atom.

16. The compound of claim 15, wherein each of  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_6$  is a hydrogen atom.

17. The compound of claim 15, wherein each of  $X_1$  and  $X_2$  is an oxygen atom.

18. The compound of claim 15, wherein  $R_4$  is a saturated  $C_1$ - $C_{12}$  alkyl.

19. The compound of claim 15, wherein the saturated  $C_1$ - $C_{12}$  alkyl is a tertiary butyl group.

20. The compound of to claim 15, wherein  $R_1$  is a substituted phenyl group.

21. The compound of claim 20, wherein the substituted phenyl group is methoxybenzene.

22. The compound according to claim 15, wherein n is equal to zero or one.

23. The compound according to claim 15, wherein n is equal to one.

24. The compound according to claim 15, wherein n is equal to one and Z,  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are each a carbon atom.

25. The compound of Claim 15, wherein said compound is selected from the group consisting of: KPU-2, KPU-11, KPU-35, KPU-66, KPU-80, KPU-81, KPU-90 and t-butyl-phenylahistin.

26. A pharmaceutical composition, comprising the compound of Claim 15 and a pharmaceutically acceptable carrier.

27. The pharmaceutical composition of Claim 26, wherein said compound is selected from the group consisting of: KPU-11, KPU-80, KPU-81 and KPU-90.

28. The pharmaceutical composition of Claim 26, wherein said compound has a cytotoxic activity.

29. The pharmaceutical composition of Claim 26, wherein said compound is a cell-cycle inhibitor.

30. A method for the treatment of a disease state in a mammal, comprising administering to the mammal a pharmaceutically effective amount of the composition of Claim 26.

31. The method of Claim 30, wherein said disease state is neoplastic.

32. The method of Claim 30, wherein said disease state is a fungal infection.

33. A pharmaceutical composition for treating or preventing fungal infection comprising an antifungally effective amount of a compound of claim 15 together with a pharmaceutically acceptable carrier therefor.

34. The composition of Claim 33, wherein said compound is selected from the group consisting of: KPU-2, KPU-11, KPU-35, KPU-66, KPU-80, KPU-81, KPU-90 and t-butyl-phenylahistin.

35. A method of treating and/or preventing at least one fungal infection in a mammal afflicted with at least one fungal infection which comprises administering an antifungally effective amount of a compound of claim 15 sufficient for such treating or preventing.

36. The method of Claim 35, wherein said compound is selected from the group consisting of: KPU-2, KPU-11, KPU-35, KPU-66, KPU-80, KPU-81, KPU-90 and t-butyl-phenylahistin.

37. A pharmaceutical composition for treating or preventing tumor comprising an pharmaceutically effective amount of a compound of claim 15 together with a pharmaceutically acceptable carrier therefor.

38. The method of Claim 37, wherein said compound is selected from the group consisting of: KPU-2, KPU-11, KPU-35, KPU-66, KPU-80, KPU-81, KPU-90 and t-butylphenylahistin.

39. A method of treating and/or preventing cancer in a mammal afflicted with cancer which comprises administering an antineoplastic amount of a compound of claim 15 sufficient for such treating or preventing.

40. The method of Claim 39, wherein said compound is selected from the group consisting of: KPU-2, KPU-11, KPU-35, KPU-66, KPU-80, KPU-81, KPU-90 and t-butylphenylahistin.